

AMENDMENTS TO THE SPECIFICATION**IN THE SPECIFICATION:**

Please replace the paragraph beginning on page 6, line 23 of the current specification with the following paragraph:

In the compound of the present invention:

a C1-C5 alkyl group optionally substituted by at least one halogen atom represented by R¹ and R² includes, for example, C1-C3 alkyl group optionally substituted by at least one halogen atom such as a methyl group, an ethyl group, a propyl group, a ~~1-methylethyl~~ 1-methylethyl group (may be referred ~~referred to as~~ referred to as an i-propyl group, hereinafter), ~~a 2,2-dimethylpropyl group~~, a chloromethyl group, a fluoromethyl group, a difluoromethyl group, a trifluoromethyl group, a 2,2,2-trifluoroethyl group and a 1,1,2,2-tetrafluoroethyl group; and 1,1-dimethylethyl group (may be referred ~~referred to~~ referred to as t-butyl group, hereinafter);

a C2-C5 alkenyl group optionally substituted by at least one halogen atom includes, for example, a vinyl group, a 2,2-difluorovinyl group, a 1,2,2-trifluorovinyl group, 1-propenyl group and 2-propenyl group;

a C2-C5 alkynyl group optionally substituted by at least one halogen atom includes, for example, an ethynyl group, a 1-propynyl group, a 2-propynyl group and 3,3,3-trifluoro-1-propynyl group.

Please replace the paragraph beginning on page 7, line 14 of the current specification with the following paragraph:

A C1-C5 alkoxy group optionally substituted by at least one halogen atom represented by R² includes, for example,

~~a C1-C3 alkyl~~ C1-C3 alkoxy group optionally substituted by at least one halogen atom such as a methoxy group, an ethoxy group, a 1-methylethoxy group, a trifluoromethoxy group, a difluoromethoxy

group, ~~a trifluoromethoxy group~~, a 2,2,2-trifluoroethoxy group and a 1,1,2,2-tetrafluoromethoxy group; and a butoxy group.

Please replace the paragraph beginning on page 14, line 24 of the current specification with the following paragraph:

In case of the R^5 and R^6 , which are bonded with the adjoined two atoms or the two R^6 s, which are bonded with the adjoined two atoms, are coupled one another at the end thereof, a C2-C6 alkanediyl group optionally substituted by at least one halogen atom represented by R^5 and R^6 includes, for example, a propylene group, a trimethylene group and a tetramethylene group, ~~an ethyleneoxy group, a dimethyleneoxy group, an ethylenethio group, a dimethylenethio group~~; a C4-C6 alkenediyl group optionally substituted by at least one halogen atom includes, for example, a 2-butenylene group and a 2-pentenylene group.

Please replace the paragraph beginning on page 24, line 1 of the current specification with the following paragraph:

a malononitrile compound of the formula (I) in which R^1 is a hydrogen atom, R^2 is a C1-C5 alkyl group optionally substituted by at least one halogen atom or a hydrogen atom, R^3 is a hydrogen atom, ~~at least one~~ R^4 is a C1-C3 alkyl group optionally substituted by at least one halogen atom, a C2-C5 alkenyl group optionally substituted by at least one halogen atom, a C2-C5 alkynyl group optionally substituted by at least one halogen atom, or a C2-C6 alkanediyl group in which R^3 and R^4 are coupled one another at the end thereof;

Please replace the paragraph beginning on page 26, line 19 of the current specification with the following paragraph:

a malononitrile compound of the formula (I-1) in which R¹ is a C1-C5 alkyl group optionally substituted by at least one halogen atom, a C2-C5 alkenyl group optionally substituted by at least one halogen atom, a C2-C5 alkynyl group optionally substituted by at least one halogen atom or a hydrogen atom;

R² is a C1-C5 alkyl group optionally substituted by at least one halogen atom, a C1-C5 alkoxy group optionally substituted by at least one halogen atom, a C2-C5 alkenyl group optionally substituted by at least one halogen atom, a C2-C5 alkynyl group optionally substituted by at least one halogen atom, a cyano group or a hydrogen atom;

each of R³ and R⁴ is a C1-C5 alkyl group optionally substituted by at least one halogen atom, a C2-C5 alkenyl group optionally substituted by at least one halogen atom, a C2-C5 alkynyl group optionally substituted by at least one halogen atom, a C3-C5 cycloalkyl group optionally substituted by at least one halogen atom or at least one C1-C3 alkyl group, a C4-C5 cycloalkenyl group optionally substituted by at least one halogen atom or a hydrogen atom,

or represents a C2-C6 alkanediyl group optionally substituted by at least one halogen atom or C4-C6 alkenediyl group optionally substituted by at least one halogen atom in which R³ and R⁴ are coupled one another at the end thereof;

R⁵ is a hydrogen atom;

and R⁶⁻¹ is each a halogen atom, a C1-C5 alkyl group optionally substituted by at least one halogen atom, a C1-C5 alkoxy group optionally substituted by at least one halogen atom, a C1-C5 alkylthio group optionally substituted by at least one halogen atom or a hydrogen atom;

Please replace the paragraph beginning on page 27, line 21 of the current specification with the following paragraph:

a malononitrile compound of the formula (I-1) in which R¹ is a C1-C5 alkyl group optionally substituted by at least one halogen atom, a C2-C5 alkenyl group optionally substituted by at least one

halogen atom, a C2-C5 alkynyl group optionally substituted by at least one halogen atom or a hydrogen atom;

R² is a C1-C5 alkyl group optionally substituted by at least one halogen atom, a C1-C5 alkoxy group optionally substituted by at least one halogen atom, a C2-C5 alkenyl group optionally substituted by at least one halogen atom, a C2-C5 alkynyl group optionally substituted by at least one halogen atom, a cyano group or a hydrogen atom;

each of R³ and R⁴ is a C1-C5 alkyl group optionally substituted by at least one halogen atom, a C2-C5 alkenyl group optionally substituted by at least one halogen atom, a C2-C5 alkynyl group optionally substituted by at least one halogen atom, a C3-C5 cycloalkyl group optionally substituted by at least one halogen atom or at least one C1-C3 alkyl group, a C4-C5 cycloalkenyl group optionally substituted by at least one halogen atom or a hydrogen atom;

R⁵ is a hydrogen atom;

and R⁶⁻¹ is ~~each~~ a halogen atom, a C1-C5 alkyl group optionally substituted by at least one halogen atom, a C1-C5 alkoxy group optionally substituted by at least one halogen atom, a C1-C5 alkylthio group optionally substituted by at least one halogen atom or a hydrogen atom;

Please replace the paragraph beginning on page 29, line 1 of the current specification with the following paragraph:

a malononitrile compound of the formula (I-1) in which R¹, R², R³ and R⁵ are hydrogen atoms; R⁴ is a 2,2,2-trifluoromethyl group or a vinyl group; and R⁶⁻¹ is ~~each~~ a halogen atom, a C1-C5 alkyl group optionally substituted by at least one halogen atom, a C1-C5 alkoxy group optionally substituted by at least one halogen atom, a C1-C5 alkylthio group optionally substituted by at least one halogen atom or a hydrogen atom;

Please replace the paragraph beginning on page 38, line 27 of the current specification with the following paragraph:

a malononitrile compound of the formula (I-3) in which R^1 , R^2 , R^3 and R^5 are hydrogen atoms; R^4 is a 2,2,2-trifluoromethyl group or a vinyl group; R^{6-1} is a halogen atom, a C1-C5 alkyl group optionally substituted by at least one halogen atom, a C1-C5 alkoxy group optionally substituted by at least one halogen atom, a C1-C5 alkylthio group optionally substituted by at least one halogen atom or a hydrogen atom; and R^{6-3} R^{6-2} is a halogen atom or a hydrogen atom;

Please replace the paragraph beginning on page 41, line 6 of the current specification with the following paragraph:

a malononitrile compound of the formula (I-4) in which R^1 is a ~~C1-~~ C1-C5 alkyl group optionally substituted by at least one halogen atom, a C2-C5 alkenyl group optionally substituted by at least one halogen atom, a C2-C5 alkynyl group optionally substituted by at least one halogen atom or a hydrogen atom; R^2 is a C1-C5 alkyl group optionally substituted by at least one halogen atom, a C1-C5 alkoxy group optionally substituted by at least one halogen atom, a C2-C5 alkenyl group optionally substituted by at least one halogen atom, a C2-C5 alkynyl group optionally substituted by at least one halogen atom, a cyano group or a hydrogen atom; each of R^3 and R^4 is a C1-C5 alkyl group optionally substituted by at least one halogen atom, a C2-C5 alkenyl group optionally substituted by at least one halogen atom, a C2-C5 alkynyl group optionally substituted by at least one halogen atom, a C3-C5 cycloalkyl group optionally substituted by at least one halogen atom or at least one C1-C3 alkyl group, a C4-C5 cycloalkenyl group optionally substituted by at least one halogen atom or a hydrogen atom,

or represents a C2-C6 alkanediyl group optionally substituted by at least one halogen atom or C4-C6 alkenediyl group optionally substituted by at least one halogen atom in which R³ and R⁴ are coupled one another at the end thereof;

R⁵ is a hydrogen atom;

and each of R⁶⁻¹ and R⁶⁻² is a halogen atom, a C1-C5 alkyl group optionally substituted by at least one halogen atom, a C1-C5 alkoxy group optionally substituted by at least one halogen atom, a C1-C5 alkylthio group optionally substituted by at least one halogen atom or a hydrogen atom;

Please replace the paragraph beginning on page 60, line 7 of the current specification with the following paragraph:

The compound (j-1) can be produced by subjecting the ~~compound (e)~~ compound (k) to reaction with R¹-CHO.

Please replace the paragraph beginning on page 60, line 15 of the current specification with the following paragraph:

The reaction is carried out, if required, under the presence of a base. In case that the reaction is carried out under the presence of a base, the base used for the reaction includes, for example, organic bases such as triethylamine, ethyldiisopropylamine and the like, and the amount of the base used for the reaction is usually 0.5 to 5 moles per 1 mole of the ~~compound (e)~~ compound (k).

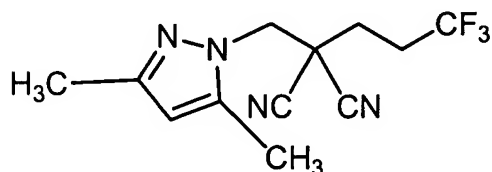
Please replace the paragraph beginning on page 61, line 3 of the current specification with the following paragraph:

After the reaction has finished, the compound (j-1) can be isolated by subjecting the reaction mixture to post-treatment such as adding an organic solvent including acetone to the reaction mixture, if

required, and filtering the reaction mixture, then concentrating the filtrate. The isolated ~~compound (d)~~ compound (j-1) may be, if required, purified by chromatography, recrystallization and the like.

Please replace the paragraph beginning on page 86, line 18 of the current specification with the following paragraph:

0.93 g of 1-(chloromethyl)-3,5-dimethyl-1H-pyrazole hydrochloride and 0.81 g of (3,3,3-trifluoropropyl) malononitrile were dissolved in 15 ml of N,N-dimethylformamide. 1.38 g of potassium carbonate was added to the solution under ice cooling with stirring, followed by stirring at room temperature for 4 hours. Water was added to the reaction mixture, and then extracted with MTBE. The organic layer was washed with water, dried over anhydrous magnesium sulfate, filtered, and concentrated under reduced pressure. The residue was subjected to silica gel column chromatography to obtain 0.45 g of ~~[(3,5-dimethyl-1H-pyrazol-1-yl)] (3,3,3-trifluoropropyl) malononitrile~~ the compound (referred referred to as the compound of the present invention (17), hereinafter) shown by below formula.

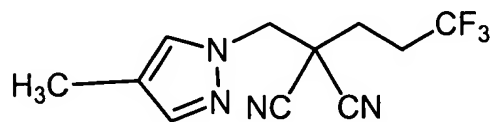


¹ H-NMR(CDCl₃, TMS, δ(ppm)): 2.23(3H,s), 2.37(3H,s), 2.41-2.61(4H,m), 4.52(2H,s), 5.91(1H,s)

Please replace the paragraph beginning on page 87, line 11 of the current specification with the following paragraph:

1.46 g of 1-(chloromethyl)-4-methyl-1H-pyrazole hydrochloride and 1.42 g of (3,3,3-trifluoropropyl) malononitrile were dissolved in 30 ml of N,N-dimethylformamide. 2.40 g of potassium carbonate was added to the solution under ice cooling with stirring, followed by stirring at room temperature for overnight. Water was added to the reaction mixture, and then extracted with MTBE. The organic layer was washed with water, dried over anhydrous magnesium sulfate, filtered, and concentrated

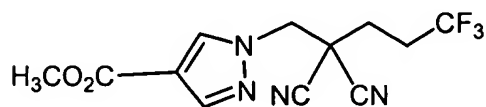
under reduced pressure. The residue was subjected to silica gel column chromatography to obtain 0.83 g of ~~[(4-methyl-1H-pyrazole-1-yl)] (3,3,3-trifluoropropyl) malononitrile~~ the compound (referred referred to as the compound of the present invention (18), hereinafter) shown by below formula.



¹H-NMR(CDCl₃, TMS, δ(ppm)): 2.11(3H, s), 2.20-2.24(2H, m), 2.46-2.58(2H, m), 4.64(2H, s), 7.38(1H, s), 7.42(H, s)

Please replace the paragraph beginning on page 91, line 5 of the current specification with the following paragraph:

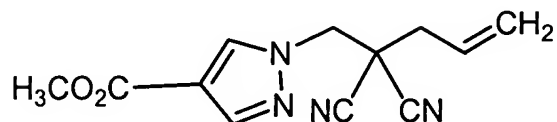
0.80 g of 1-(chloromethyl)-4-methoxycarbonyl-1H-pyrazole and 0.75 g of (3,3,3-trifluoropropyl) malononitrile were dissolved in 15 ml of N,N-dimethylformamide. 1.27 g of potassium carbonate was added to the solution under ice cooling with stirring, followed by stirring at room temperature for overnight. Water was added to the reaction mixture, and then extracted with MTBE. The organic layer was washed with water, dried over anhydrous magnesium sulfate, filtered, and concentrated under reduced pressure. The residue was subjected to silica gel column chromatography, and then recrystallized from hexane-chloroform to obtain 0.48 g of ~~[4-(methoxycarbonyl)-1H-pyrazole-1-yl]methyl] [(4-(methoxycarbonyl)-1H-pyrazole-1-yl)methyl] (3,3,3-trifluoropropyl) malononitrile~~ (referred referred to as the compound of the present invention (23), hereinafter) shown by below formula.



¹H-NMR(CDCl₃, TMS, δ(ppm)): 2.27-2.32(2H, m), 2.47-2.62(2H, m), 3.86(3H, s), 4.71(2H, s), 8.03(1H, s), 8.12(1H, s)

Please replace the paragraph beginning on page 92, line 1 of the current specification with the following paragraph:

0.79 g of 1-(chloromethyl)-4-methoxycarbonyl-1H-pyrazole and 0.49 g of allyl malononitrile were dissolved in 15 ml of N,N-dimethylformamide. 1.26 g of potassium carbonate was added to the solution under ice cooling with stirring, followed by stirring at room temperature for overnight. Water was added to the reaction mixture, and then extracted with MTBE. The organic layer was washed with water, dried over anhydrous magnesium sulfate, filtered, and concentrated under reduced pressure. The residue was subjected to silica gel column chromatography, and then recrystallized from hexane-chloroform to obtain 0.50 g of allyl [4-(methoxycarbonyl)-1H-pyrazole-1-yl]methyl] malononitrile (~~referred~~ referred to as the compound of the present invention (24), hereinafter) shown by below formula.

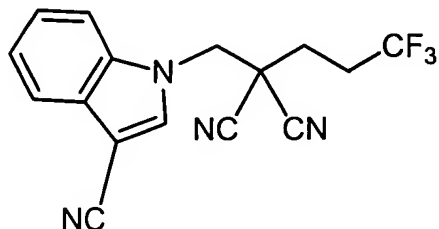


¹H-NMR(CDCl₃, TMS, δ(ppm)): 2.76(2H, d), 3.85(3H, s), 4.64(2H, s), 5.47-5.54(2H, m), 5.85-5.99(1H, m), 8.02(1H, s), 8.11(1H, s)

Please replace the paragraph beginning on page 103, line 13 of the current specification with the following paragraph:

1.70 g of 1-(chloromethyl)-3-cyano-1H-indole and 1.45 g of (3,3,3-trifluoropropyl) malononitrile were dissolved in 27 ml of N,N-dimethylformamide. 2.49 g of potassium carbonate was added to the solution under ice cooling with stirring, followed by stirring at room temperature for 7 hours. Water was added to the reaction mixture, and then extracted with MTBE. The organic layer was washed with water, dried over anhydrous magnesium sulfate, filtered, and concentrated under reduced pressure. The residue was subjected to silica gel column chromatography to obtain 1.48 g of [3-cyano-1H-indole-1-yl]methyl] malononitrile.

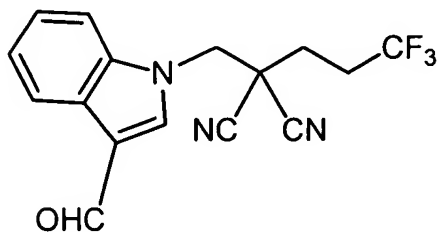
[{3-cyano-1H-indole-1-yl}methyl] (3,3,3-trifluoropropyl) malononitrile (~~referred~~ referred to as the compound of the present invention (39), hereinafter) shown by below formula.



¹H-NMR(CDCl₃, TMS, δ(ppm)): 2.28-2.32(2H,m), 2.51-2.63(2H,m), 4.78(2H,s), 7.37-7.47(2H,m), 7.53(1H,d), 7.80-7.83(2H,m)

Please replace the paragraph beginning on page 104, line 5 of the current specification with the following paragraph:

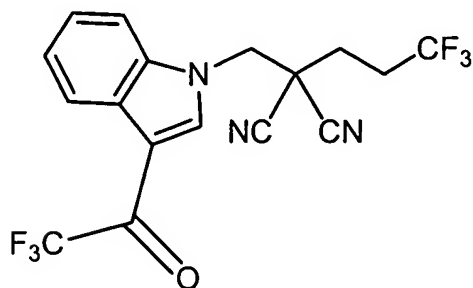
3.02 g of 1-(chloromethyl)-3-formyl-1H-indole and 2.53 g of (3,3,3-trifluoropropyl) malononitrile were dissolved in 45 ml of N,N-dimethylformamide. 4.35 g of potassium carbonate was added to the solution under ice cooling with stirring, followed by stirring at room temperature for 3 hours. Water was added to the reaction mixture, and then extracted with MTBE. The organic layer was washed with water, dried over anhydrous magnesium sulfate, filtered, and concentrated under reduced pressure. The residue was subjected to silica gel column chromatography to obtain 2.68 g of ~~[3-formyl-1H-indole-1-yl]methyl~~ [{3-formyl-1H-indole-1-yl}methyl] (3,3,3-trifluoropropyl) malononitrile (~~referred~~ referred to as the compound of the present invention (40), hereinafter) shown by below formula.



¹ H-NMR(DMSO-d₆, TMS, δ(ppm)):2.33-2.51(2H,m),2.59-2.75(2H,m),5.29(2H,s),7.30-7.40(2H,m),7.97(1H,d),8.14(1H,d),8.36(1H,s),

Please replace the paragraph beginning on page 105, line 4 of the current specification with the following paragraph:

3.53 g of 1-(chloromethyl)-3-(trifluoroacetyl)-1H-indole and 2.19 g of (3,3,3-trifluoropropyl) malononitrile were dissolved in 27 ml of N,N-dimethylformamide. 3.74 g of potassium carbonate was added to the solution under ice cooling with stirring, followed by stirring at room temperature for overnight. Water was added to the reaction mixture, and then extracted with MTBE. The organic layer was washed with water, dried over anhydrous magnesium sulfate, filtered, and concentrated under reduced pressure. The residue was subjected to silica gel column chromatography to obtain 3.06 g of {3-(trifluoroacetyl)-1H-indole-1-yl}methyl} [{3-(trifluoroacetyl)-1H-indole-1-yl}methyl] (3,3,3-trifluoropropyl) malononitrile (~~referred~~ referred to as the compound of the present invention (41), hereinafter) shown by below formula.



¹ H-NMR(CDCl₃, TMS, δ(ppm)):2.33-2.37(2H,m),2.53-2.65(2H,m),4.85(2H,s),7.44-7.50(2H,m),7.52-7.57(1H,m),8.16(1H,s),8.44-8.47(1H,m)

Please replace the paragraph beginning on page 112, line 7 of the current specification with the following paragraph:

Under nitrogen atmosphere, mixture of 17.23 g of isopropyl methyl ketone and 12.01 g of methyl formate was cooled to 0 °C, and then 22.44 g of potassium t-butoxide dissolved to 200 ml of tetrahydrofuran was added to the mixture over the period for 1 hour. During that, the temperature of the mixture was kept below 20 °C. After that, the reaction mixture was stirred at 30 °C for 5 hours. 200 ml of diethyl ether was added to the reaction mixture which was cooled to room temperature, as a result, solid was produced. The solid was collected by filtration, and washed with 20 ml of diethyl ether. The obtained solid was dried under reduced pressure to give 14.14 g of 1-hydroxy-4-methyl-1-pentene-3-one potassium salt. 14.14 g of 1-hydroxy-4-methyl-1-pentene-3-one potassium salt was suspended to 90 ml of ethanol. 5.11 g of hydrazine hydrate was added to the suspension, and then refluxed for 7 hours. 30 ml of water was added to the reaction mixture which was cooled to room temperature, and the mixture was concentrated to 30 ml under reduced pressure. ~~Noushukeki~~ The residue was extracted by ethyl acetate. The organic layer was dried over anhydrous magnesium sulfate, filtered, and concentrated under reduced pressure. The residue was subjected to silica gel column chromatography to obtain 6.83 g of 3-i-propyl-1H-pyrazole.

¹ H-NMR(CDCl₃, TMS, δ(ppm)): 1.29(6H,d), 3.01-3.08(1H,m), 6.10(1H,s), 7.49(1H,s)

Please replace the paragraph beginning on page 125, line 13 of the current specification with the following paragraph:

2.48 g of 3-t-butyl-1H-pyrazole was suspended in 35 ml of water, and 2.5 g of 50 % aqueous solution of sodium hydroxide was added to it. The mixture was cooled to 0 °C, and then 3.50 g of bromine was added to the mixture, followed by stirring at room temperature for 7 hours. The reaction mixture was extracted by ethyl acetate. The organic layer was washed with water dried over anhydrous magnesium sulfate, filtered, and concentrated under reduced pressure. The residue was subjected to silica gel column chromatography ~~hexane-ethyl acetate~~ to obtain 3.14 of 4-bromo-3-t-butyl-1H-pyrazole.

¹ H-NMR(CDCl₃, TMS, δ(ppm)): 1.45(9H,s), 7.48(1H,s)

Please replace the paragraph beginning on page 128, line 14 of the current specification with the following paragraph:

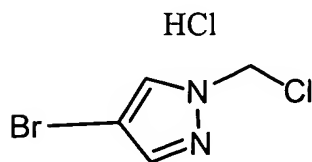
0.82 g of ~~3-t-butyl-4-chloro-1H-4-chloro-pyrazole-1-ylmethanol~~ 3-t-butyl-4-chloro-1H-pyrazole-1-ylmethanol was dissolved to 45 ml of dichloromethane. 3.4 ml of thionyl chloride was added to the solution, followed by stirring at room temperature for overnight. The reaction mixture was concentrated under reduced pressure to obtain 0.98 g of 3-t-butyl-4-chloro-1-(chloromethyl)-1H-pyrazole hydrochloride.

¹H-NMR(CDCl₃, TMS, δ(ppm)): 1.39(9H, s), 5.75(2H, s), 7.52(1H, s)

Please replace the paragraph beginning on page 133, line 20 of the current specification with the following paragraph:

Reference Production Example 16-2

4-bromo-1-(chloromethyl)-1H-pyrazle hydrochloride



2.97 g of 4-bromo-1H-pyrazole-1-ylmethanol was dissolved to 100 ml of dichloromethane. 5 ml of thionyl chloride was added to the solution, followed by stirring at room temperature for overnight. The reaction mixture was concentrated under reduced pressure to obtain ~~(3.27 g~~ 3.27 g of 4-bromo-1-(chloromethyl)-1H-pyrazole hydrochloride.

¹H-NMR(CDCl₃, TMS, δ(ppm)): 5.83(2H, s), 7.57(1H, s), 7.63(1H, s)